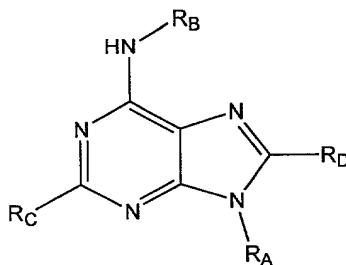


Claims

1. A compound having formula (I) comprising:



(I)

10

- wherein R_A is hydrogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; R_B is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety; R_C and R_D are each independently hydrogen, halogen, an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, or $-Z R_E$, wherein Z is $-O-$, $-S-$, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, wherein in each of the foregoing groups each aliphatic, heteroaliphatic, alkylaryl, or alkylheteroaryl moiety may be branched or
- 20 unbranched, cyclic or acyclic and substituted or unsubstituted, and each aryl and heteroaryl moiety may be substituted or unsubstituted;

whereby at least one of R_A , R_B , R_C or R_D as defined above, is substituted by one or more phosphorus moieties,

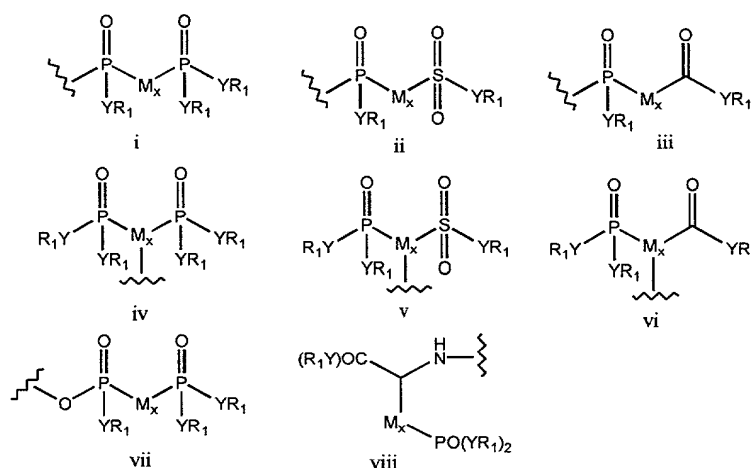
- with the proviso that R_D is not terminal functionality representing a cyano group, an amino group, an aminoalkyl group, an amidino, guanidino or guanidinoalkyl group, whereby said terminal functionality is attached to the purine scaffold through an alkylene or phenylene moiety, and
- 25

with the proviso that R_A is not an aliphatic or heteroaliphatic moiety substituted with one phosphorus containing moiety.

30

- 5 2. The compound of claim 1, wherein the one or more phosphorous moieties are each independently a group having the structure $-P(X)YR_GYR_H$, wherein X is independently an alkyl moiety, $=O$ or $=S$; R_G and R_H , for each occurrence, are independently hydrogen, or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and each occurrence of Y is
- 10 independently a covalent bond, $-O-$, $-S-$ or $N(R_J)_2$, wherein R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl;

or wherein the one or more phosphorus moieties are each independently a group having any one of structures i-viii:



15

- wherein each occurrence of M is independently CV_2 , $-NV-$, $-O-$ or $-S-$, wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic; each occurrence of Y is independently a covalent bond, $-O-$, $-S-$ or $N(R_J)_2$, wherein
- 20 R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently an integer from 1-6; and each occurrence of R_1 is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable derivative.

25

3. The compound of claim 2, wherein any one of R_A , R_B , R_C or R_D are each independently substituted with one or more phosphorus moieties having the structure: $-P(X)YR_GYR_H$, wherein X is independently an alkyl moiety, $=O$ or $=S$, R_G and R_H ,

5 for each occurrence, are independently hydrogen, or substituted or unsubstituted
aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and each
occurrence of Y is independently a covalent bond, -O-, -S- or N(R_J)₂, wherein R_J, for
each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl,
heteroaryl, alkylaryl, or alkylheteroaryl; or is substituted with group having any one
10 of structures i-vii as defined above.

4. The compound of claim 2, wherein at least one occurrence of Y is O.

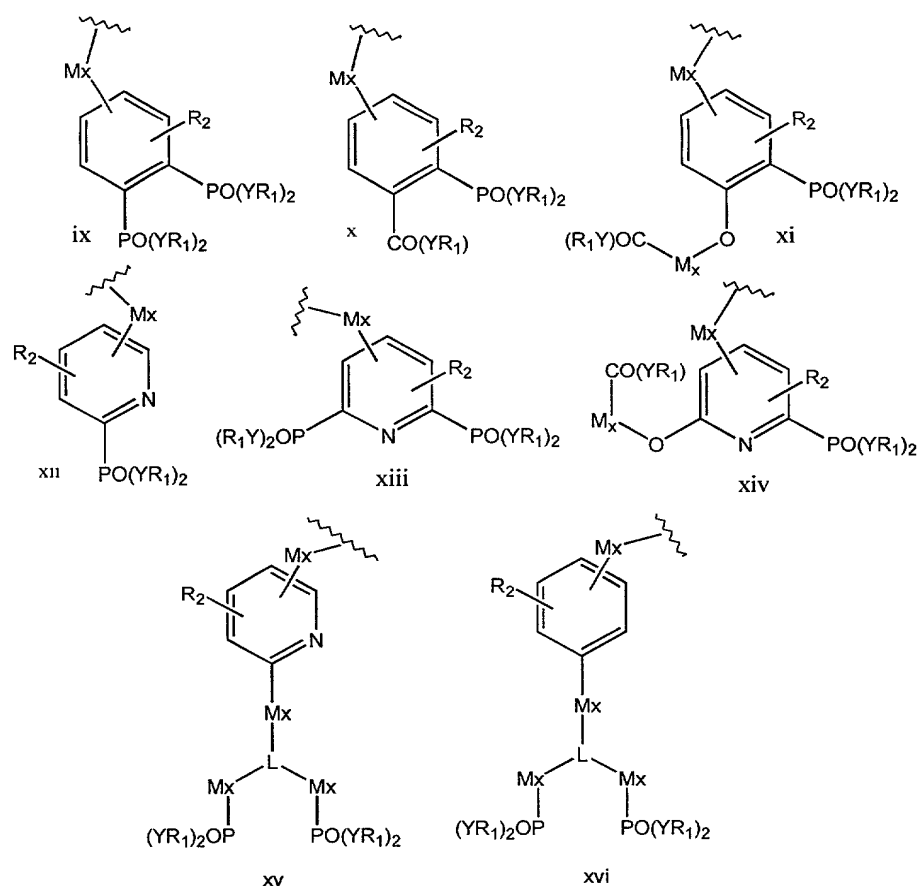
5. The compound of claim 2, wherein each occurrence of Y is O.

15

6. The compound of claim 2, wherein at least one of Y is a covalent bond.

7. The compound of claim 1 or 2, wherein any one of R_A, R_B, R_C or R_D, as
defined above, is additionally substituted with 0-3 substituents selected from the
20 group consisting of halogen, lower alkyl, lower alkenyl, aryl, heteroaryl, carbonyl,
thiocarbonyl, ketone, aldehyde, amino, acylamino, amido, amidino, cyano, nitro,
azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl, sulfonamido, phosphoryl,
phosphorothioate, phosphonate, phosphinate, -(CH₂)_palkyl, -(CH₂)_palkenyl, -
(CH₂)_palkynyl, -(CH₂)_paryl, -(CH₂)_paralkyl, -(CH₂)_pOH, -(CH₂)_pO-lower alkyl, -
25 (CH₂)_pO-lower alkenyl, -O(CH₂)_nR, -(CH₂)_pSH, -(CH₂)_pS-lower alkyl, -(CH₂)_pS-
lower alkenyl, -S(CH₂)_nR, -(CH₂)_pN(R)₂, -(CH₂)_pNR-lower alkyl, -(CH₂)_pNR-lower
alkenyl, -NR(CH₂)_nR, and protected forms of the above, wherein R represents,
independently for each occurrence, hydrogen, or substituted or unsubstituted aryl,
heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein each occurrence of p
30 independently represents an integer from 0-10.

8. The compound of claim 1, wherein any one of R_A, R_B, R_C or R_D is
independently a moiety having the structure ix-xvi:



5

wherein each occurrence of M is independently CV₂, -NV-, -O- or -S-,
 wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic;
 each occurrence of Y is independently a covalent bond, -O-, -S- or N(R₁)₂, wherein
 10 R₁, for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 1-6;
 wherein L is CW, wherein W is hydrogen, aliphatic, heteroaliphatic, or hydroxyl; and
 each occurrence of R₁ is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable
 15 derivative;

wherein each occurrence of R₂ independently represents from 0-3 substituents
 independently selected from the group consisting of halogen, lower alkyl, lower
 alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino,
 amido, amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl,
 20 sulfonamido, phosphoryl, phosphorothioate, phosphonate, phosphinate, -(CH₂)_palkyl,

5 $-(CH_2)_p$ alkenyl, $-(CH_2)_p$ alkynyl, $-(CH_2)_p$ aryl, $-(CH_2)_p$ alkyl, $-(CH_2)_p$ OH, $-(CH_2)_p$ O-lower alkyl, $-(CH_2)_p$ O-lower alkenyl, $-O(CH_2)_nR$, $-(CH_2)_p$ SH, $-(CH_2)_p$ S-lower alkyl, $-(CH_2)_p$ S-lower alkenyl, $-S(CH_2)_nR$, $-(CH_2)_pN(R)_2$, $-(CH_2)_p$ NR-lower alkyl, $-(CH_2)_p$ NR-lower alkenyl, $-NR(CH_2)_nR$, and protected forms of the above, wherein R represents, independently for each occurrence, hydrogen, or substituted or
 10 unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein each occurrence of p independently represents an integer from 0-10.

9. The compound of claim 1, 2, or 8, wherein R_D is hydrogen.

15 10. The compound of claim 1, 2, or 8, wherein R_C is $-NHR_E$.

11. The compound of claim 1, 2, or 8, wherein R_C is $-NHR_E$, and R_D is hydrogen.

12. The compound of claim 1, 2, or 8, wherein R_D is not hydrogen.

20

13. The compound of claim 1, 2, or 8, wherein R_C is not hydrogen.

14. The compound of claim 1, 2, or 8, wherein R_C is not $-NHR_E$.

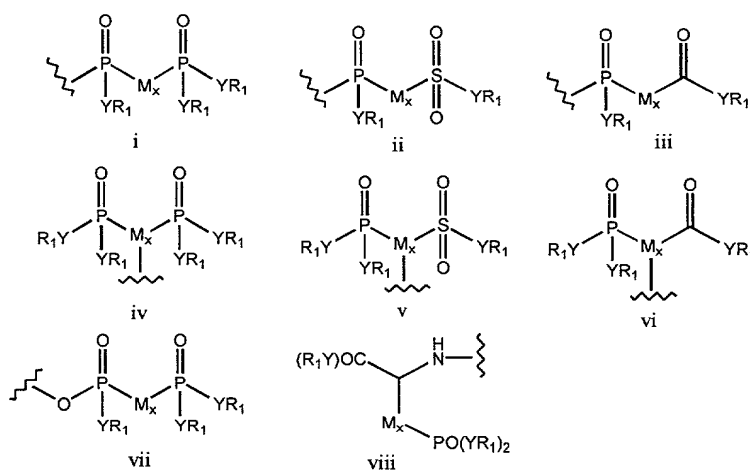
25 15. The compound of claim 1, 2, or 8, wherein R_C is not $-NHR_E$ and R_D is not hydrogen.

16. The compound of claim 1, 2, or 8, wherein any one of R_B , R_C , or R_D as defined above is substituted by one or more phosphorus moieties.

30

17. The compound of claim 1, 2, or 8, wherein any one of R_A , R_B , R_C or R_D is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety substituted by one or more phosphorus moieties.

18. The compound of claim 1, 2, or 8, wherein when R_A is an aliphatic or heteroaliphatic moiety substituted by one or more phosphorus moieties, R_B is a substituted or unsubstituted aryl, heteroaryl, alkylaryl or alkylheteroaryl moiety.
19. The compound of claim 1 or 2, wherein R_B is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety substituted by one or more phosphorus moieties.
20. The compound of claim 19, wherein R_B is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety bearing one or more phosphorus moieties of formula i through viii:

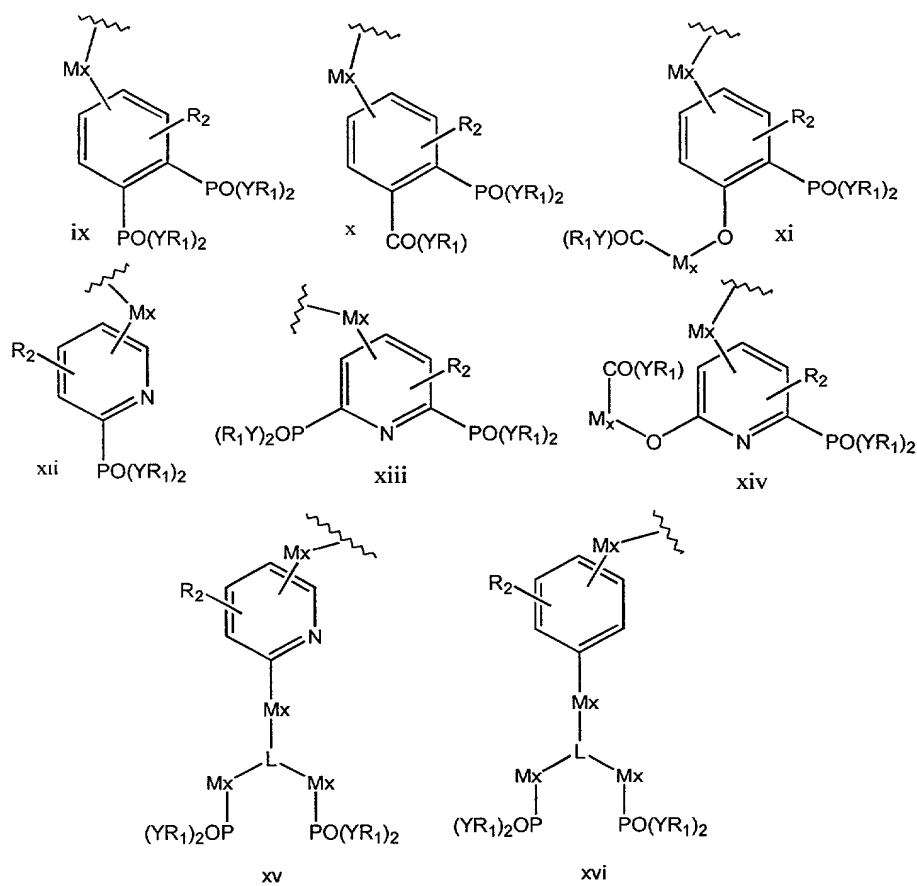


15

wherein each occurrence of M is independently CV_2 , -NV-, -O- or -S-, wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic; each occurrence of Y is independently a covalent bond, -O-, -S- or $\text{N}(\text{R}_1)_2$, wherein R_1 , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 1 or 2; and each occurrence of R_1 is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable derivative.

25

21. The compound of claim 19, wherein R_B is any one of structures ix-xvi:



5

wherein each occurrence of M is independently CV₂, -NV-, -O- or -S-,
 wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic;
 each occurrence of Y is independently a covalent bond, -O-, -S- or N(R_J)₂, wherein
 R_J, for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 0-6,
 and in certain embodiments is 1-2; wherein L is CW, wherein W is hydrogen,
 aliphatic, heteroaliphatic, or hydroxyl; and each occurrence of R₁ is independently
 hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a
 prodrug or pharmaceutically acceptable derivative; and

15

wherein each occurrence of R₂ independently represents from 0-3 substituents
 independently selected from the group consisting of halogen, lower alkyl, lower
 alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino,
 amido, amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl,
 sulfonamido, phosphoryl, phosphorothioate, phosphonate, phosphinate, -(CH₂)_palkyl,

5 $-(CH_2)_p$ alkenyl, $-(CH_2)_p$ alkynyl, $-(CH_2)_p$ aryl, $-(CH_2)_p$ aralkyl, $-(CH_2)_p$ OH, $-(CH_2)_p$ O-lower alkyl, $-(CH_2)_p$ O-lower alkenyl, $-O(CH_2)_nR$, $-(CH_2)_p$ SH, $-(CH_2)_p$ S-lower alkyl, $-(CH_2)_p$ S-lower alkenyl, $-S(CH_2)_nR$, $-(CH_2)_pN(R)_2$, $-(CH_2)_p$ NR-lower alkyl, $-(CH_2)_p$ NR-lower alkenyl, $-NR(CH_2)_pR$, and protected forms of the above, wherein R represents, independently for each occurrence, hydrogen, or substituted or
10 unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein each occurrence of p independently represents an integer from 0-10.

22. The compound of claim 19, wherein at least one occurrence of Y is O.

15 23. The compound of claim 19, wherein each occurrence of Y is O.

24. The compound of claim 19, wherein at least one of Y is a covalent bond.

25. The compound of claim 19, wherein R_B is an aryl, heteroaryl, alkylaryl, or
20 alkylheteroaryl moiety bearing one or more phosphorus moieties having the structure $-P(O)YR_GYR_H$, wherein R_G and R_H , for each occurrence, are independently hydrogen, or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and each occurrence of Y is independently a covalent bond, $-O-$, $-S-$ or $N(R_I)_2$, wherein R_I , for each occurrence, is independently hydrogen, aliphatic,
25 heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl

26. The compound of claim 19, wherein the aryl, heteroaryl, alkylaryl, alkylheteroaryl moiety is further substituted with 0-3 substituents selected from the group consisting of halogen, lower alkyl, lower alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino, amido, amidino, cyano, nitro,
30 azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl, sulfonamido, phosphoryl, phosphorothioate, phosphonate, phosphinate, $-(CH_2)_p$ alkyl, $-(CH_2)_p$ alkenyl, $-(CH_2)_p$ alkynyl, $-(CH_2)_p$ aryl, $-(CH_2)_p$ aralkyl, $-(CH_2)_p$ OH, $-(CH_2)_p$ O-lower alkyl, $-(CH_2)_p$ O-lower alkenyl, $-O(CH_2)_nR$, $-(CH_2)_p$ SH, $-(CH_2)_p$ S-lower alkyl, $-(CH_2)_p$ S-lower alkenyl, $-S(CH_2)_nR$, $-(CH_2)_pN(R)_2$, $-(CH_2)_p$ NR-lower alkyl, $-(CH_2)_p$ NR-lower
35 lower alkenyl, $-NR(CH_2)_pR$, and protected forms of the above, wherein R represents, independently for each occurrence, hydrogen, or substituted or unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein each occurrence of p independently represents an integer from 0-10.

5 alkenyl, $-NR(CH_2)_nR$, and protected forms of the above, wherein R represents, independently for each occurrence, hydrogen, or substituted or unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein each occurrence of p independently represents an integer from 0-10.

10 27. The compound of claim 20, wherein R_B is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety substituted with a phosphorus moiety of formula i or iv.

28. The compound of claim 20 or 25, wherein R_1 is hydrogen.

15 29. The compound of claim 20 or 25, wherein R_D is hydrogen.

30. The compound of claim 20 or 25, wherein R_C is $-ZR_E$, and Z is $-NR_F$, wherein R_E is hydrogen or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and R_F is hydrogen or a substituted or
20 unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

31. The compound of claim 30, wherein the aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, and alkylheteroaryl moieties are further substituted by one or more substituents selected from the group consisting of alkyl, aryl, heteroalkyl,
25 heteroaryl, hydroxy, acyloxy, thio, or substituted or unsubstituted amino.

32. The compound of claim 20 or 25, wherein R_C is $-ZR_E$, and Z is NR_F , wherein R_E is hydrogen and R_F is a branched or unbranched cyclic or acyclic aliphatic moiety substituted with one or more hydroxy or acyloxy moieties.

30

33. The compound of claim 32, wherein said aliphatic moiety substituted with one or more hydroxy or acyloxy moieties is selected from the group consisting of $-(CH)(CHCH_3CH_3)CH_2OH$, $-(CH_2)_nOH$, $(CH_2)_nOAc$ and $-CH(CH_2OH)(CH_2OH)$, wherein n is 1-5.

35

- 5 34. The compound of claim 20 or 25, wherein R_C is $-ZR_E$, and Z is NR_F , wherein R_E is hydrogen, and R_F is a branched or unbranched, cyclic or acyclic aliphatic moiety substituted with one or more substituted or unsubstituted amino groups.
- 10 35. The compound of claim 34, wherein said one or more substituted or unsubstituted amino groups are each independently selected from the group consisting of NH_2 , NR_KH , NR_KR_L , $-(CH_2)_nNH_2$; $-(CH_2)_nNR_GH$; and $-(CH_2)_nNR_LR_M$, wherein R_K , R_L and R_M are each independently a substituted or unsubstituted, branched or unbranched aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety.
- 15 36. The compound of claim 30, wherein R_C is an amino group substituted with an alkyl moiety.
- 20 37. The compound of claim 36, wherein the alkyl moiety is methyl, ethyl, propyl, butyl, pentyl, hexyl, cyclopentyl, or cyclohexyl.
38. The compound of claim 30, wherein R_C is an amino group substituted with one or more pyrazolyl groups.
- 25 39. The compound of claim 30, wherein R_C is an amino group substituted with one or more 5- or 6-membered rings substituted with one or two O, N or S atoms, or any combination thereof.
- 30 40. The compound of claim 20 or 25, wherein R_C is $-ZR_E$, and Z is $-O-$; and R_E is a branched or unbranched, cyclic or acyclic, substituted or unsubstituted alkyl or heteroalkyl moiety; or is a substituted or unsubstituted aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety.
- 35 41. The compound of claim 40, wherein said alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety is further substituted with one or more groups

5 selected from the group consisting of halogen, branched or unbranched alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

42. The compound of claim 40, wherein R_C is a substituted or unsubstituted methoxy, ethoxy, propyloxy, butyloxy, or pentyloxy group.

10

43. The compound of claim 40, wherein R_C is an alkoxy moiety further substituted with a substituted or unsubstituted amino, heteroalkyl or heteroaryl moiety, wherein said heteroalkyl moiety or amino moiety is cyclic or acyclic.

15 44. The compound of claim 43, wherein R_C is an alkoxy moiety substituted with a pyrazolyl moiety.

45. The compound of claim 43, wherein R_C is an alkoxy moiety substituted with a pyridyl moiety.

20

46. The compound of claim 20 or 25, wherein R_C is $-ZR_E$, and Z is $-S-$; and R_E is a branched or unbranched, cyclic or acyclic, substituted or unsubstituted alkyl or heteroalkyl moiety; or is a substituted or unsubstituted aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety.

25

47. The compound of claim 46, wherein said alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety is further substituted with one or more groups selected from the group consisting of halogen, branched or unbranched alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

30

48. The compound of claim 46, wherein R_C is a substituted or unsubstituted methylthio, ethylthio, propylthio, butylthio, or pentylthio.

49. The compound of claim 46, wherein R_C is an thioalkyl moiety further substituted with an amino, heteroalkyl or heteroaryl moiety.

35

5 50. The compound of claim 49, wherein R_C is an thioalkyl moiety substituted with a pyrazolyl moiety.

51. The compound of claim 49, wherein R_C is an thioalkyl moiety substituted with a pyridyl moiety.

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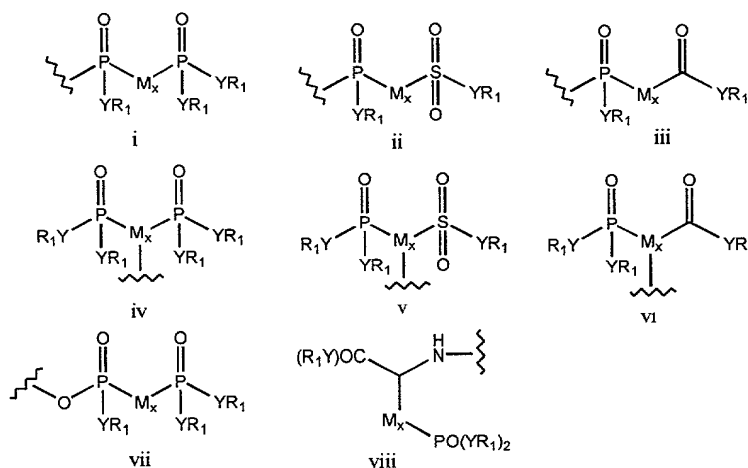
52. The compound of claim 20 or 25, wherein R_A is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety optionally substituted with one or more hydroxyl moieties.

53. The compound of claim 20 or 25, wherein R_A is a substituted or unsubstituted
15 branched or unbranched, cyclic or acyclic aliphatic or heteroaliphatic moiety optionally substituted by one of more hydroxyl moieties.

54. The compound of claim 1 or 2, wherein R_B is a cyclic or acyclic aliphatic or heteroaliphatic moiety substituted by at least one phosphorus moiety.

20

55. The compound of claim 54, wherein R_B is a cyclic or acyclic aliphatic or heteroaliphatic moiety substituted with one or more phosphorus moieties of formula i through viii:



25

wherein each occurrence of M is independently CH_2 , CHV , $CHOH$, or CV_2 ;
each occurrence of Y is independently a covalent bond, $-O-$, $-S-$ or $N(R_1)_2$, wherein

5 R₁, for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl; wherein V is a halogen; each occurrence of x is independently 1 or 2; and each occurrence of R₁ is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable derivative.

10

56. The compound of claim 55, wherein at least one occurrence of Y is O.

57. The compound of claim 55, wherein each occurrence of Y is O.

15 58. The compound of claim 55, wherein at least one of Y is a covalent bond.

59. The compound of claim 19, wherein R_B is a cyclic or acyclic aliphatic or heteroaliphatic moiety substituted with one or more phosphorus moieties having the structure -P(O)YR_GYR_H, wherein R_G and R_H, for each occurrence, are independently
20 hydrogen, or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and each occurrence of Y is independently a covalent bond, -O-, -S- or N(R_J)₂, wherein R_J, for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

25 60. The compound of claim 55 or 59, wherein the cyclic or acyclic aliphatic or heteroaliphatic moiety is further substituted with 0-3 substituents selected from the group consisting of halogen, lower alkyl, lower alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino, amido, amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl, sulfonamido, phosphoryl,
30 phosphorothioate, phosphonate, phosphinate, -(CH₂)_palkyl, -(CH₂)_palkenyl, -(CH₂)_palkynyl, -(CH₂)_paryl, -(CH₂)_paralkyl, -(CH₂)_pOH, -(CH₂)_pO-lower alkyl, -(CH₂)_pO-lower alkenyl, -O(CH₂)_nR, -(CH₂)_pSH, -(CH₂)_pS-lower alkyl, -(CH₂)_pS-lower alkenyl, -S(CH₂)_nR, -(CH₂)_pN(R)₂, -(CH₂)_pNR-lower alkyl, -(CH₂)_pNR-lower alkenyl, -NR(CH₂)_nR, and protected forms of the above, wherein R represents,
35 independently for each occurrence, hydrogen, or substituted or unsubstituted aryl,

5 heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein each occurrence of p independently represents an integer from 0-10.

61. The compound of claim 55, wherein R_B is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety substituted with a phosphorus moiety of formula i or iv.

10

62. The compound of claim 55 or 59, wherein R_1 is hydrogen.

63. The compound of claim 55 or 59, wherein R_D is hydrogen.

15 64. The compound of claim 55 or 59, wherein R_C is $-ZR_E$, and Z is $-NR_F$, wherein R_E is hydrogen or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and R_F is hydrogen or a substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

20 65. The compound of claim 64, wherein the aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, and alkylheteroaryl moieties are further substituted by one or more substituents selected from the group consisting of alkyl, aryl, heteroalkyl, heteroaryl, hydroxy, acyloxy, thio, or substituted or unsubstituted amino.

25 66. The compound of claim 55 or 59, wherein R_C is $-ZR_E$, and Z is NR_F , wherein R_E is hydrogen and R_F is a branched or unbranched cyclic or acyclic aliphatic moiety substituted with one or more hydroxy or acyloxy moieties.

30 67. The compound of claim 66, wherein said aliphatic moiety substituted with one or more hydroxy or acyloxy moieties is selected from the group consisting of $-(CH)(CHCH_3CH_3)CH_2OH$, $-(CH_2)_nOH$, $(CH_2)_nOAc$ and $-CH(CH_2OH)(CH_2OH)$, wherein n is 1-5.

35 68. The compound of claim 55 or 59, wherein R_C is $-ZR_E$, and Z is NR_F , wherein R_E is hydrogen, and R_F is a branched or unbranched, cyclic or acyclic aliphatic moiety substituted with one or more substituted or unsubstituted amino groups.

5

69. The compound of claim 68, wherein said one or more substituted or unsubstituted amino groups are each independently selected from the group consisting of NH_2 , $\text{NR}_\text{K}\text{H}$, $\text{NR}_\text{K}\text{R}_\text{L}$, $-(\text{CH}_2)_n\text{NH}_2$; $-(\text{CH}_2)_n\text{NR}_\text{G}\text{H}$; and $-(\text{CH}_2)_n\text{NR}_\text{L}\text{R}_\text{M}$, wherein R_K , R_L and R_M are each independently a substituted or unsubstituted, branched or
10 unbranched aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety.

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70. The compound of claim 64, wherein R_C is an amino group substituted with an alkyl moiety.

71. The compound of claim 70, wherein the alkyl moiety is methyl, ethyl, propyl, butyl, pentyl, hexyl, cyclopentyl, or cyclohexyl.

20

72. The compound of claim 64, wherein R_C is an amino group substituted with one or more pyrazolyl groups.

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73. The compound of claim 64, wherein R_C is an amino group substituted with one or more 5- or 6-membered rings substituted with one or two O, N or S atoms, or any combination thereof.

30

74. The compound of claim 55 or 59, wherein R_C is $-\text{ZR}_\text{E}$, and Z is $-\text{O}-$; and R_E is a branched or unbranched, cyclic or acyclic, substituted or unsubstituted alkyl or heteroalkyl moiety; or is a substituted or unsubstituted aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety.

35

75. The compound of claim 74, wherein said alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety is further substituted with one or more groups selected from the group consisting of halogen, branched or unbranched alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

- 5 76. The compound of claim 74, wherein R_C is a substituted or unsubstituted methoxy, ethoxy, propyloxy, butyloxy, or pentyloxy group.
77. The compound of claim 74, wherein R_C is an alkoxy moiety further substituted with a substituted or unsubstituted amino, heteroalkyl or heteroaryl moiety, wherein
10 said heteroalkyl moiety or amino moiety is cyclic or acyclic.
78. The compound of claim 77, wherein R_C is an alkoxy moiety substituted with a pyrazolyl moiety.
- 15 79. The compound of claim 77, wherein R_C is an alkoxy moiety substituted with a pyridyl moiety.
80. The compound of claim 55 or 59, wherein R_C is $-ZR_E$, and Z is $-S-$; and R_E is a branched or unbranched, cyclic or acyclic, substituted or unsubstituted alkyl or
20 heteroalkyl moiety; or is a substituted or unsubstituted aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety.
81. The compound of claim 80, wherein said alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety is further substituted with one or more groups
25 selected from the group consisting of halogen, branched or unbranched alkyl, heteroalkyl, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.
82. The compound of claim 80, wherein R_C is a substituted or unsubstituted methylthio, ethylthio, propylthio, butylthio, or pentylthio.
30
83. The compound of claim 80, wherein R_C is a thioalkyl moiety further substituted with an amino, heteroalkyl or heteroaryl moiety.
84. The compound of claim 80, wherein R_C is a thioalkyl moiety substituted with
35 a pyrazolyl moiety.

5 85. The compound of claim 80, wherein R_C is an thioalkyl moiety substituted with a pyridyl moiety.

86. The compound of claim 55 or 59, wherein R_A is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety optionally substituted with one or more hydroxyl moieties.

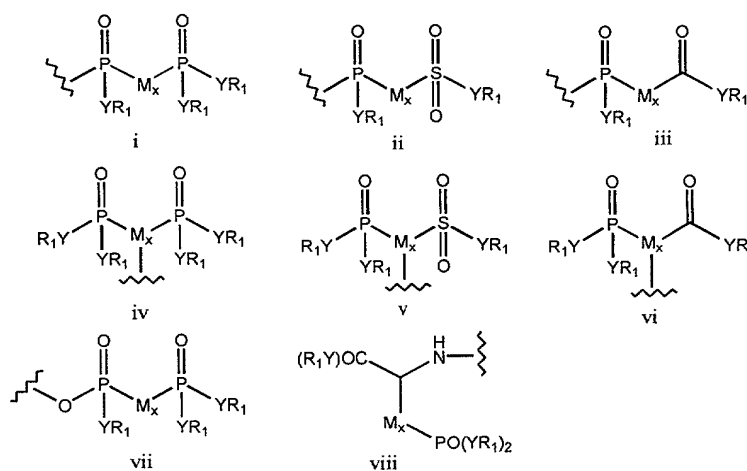
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87. The compound of claim 55 or 59, wherein R_A is a substituted or unsubstituted branched or unbranched, cyclic or acyclic aliphatic or heteroaliphatic moiety optionally substituted by one of more hydroxyl moieties.

15 88. The compound of claim 1 or 2, wherein R_C is aryl, heteroaryl, alkylaryl, alkylheteroaryl or $-ZR_E$, wherein Z is $-O-$, $-S-$, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, whereby at least one of R_E or R_F represents an aryl, heteroaryl, alkylaryl, or
20 alkylheteroaryl moiety;

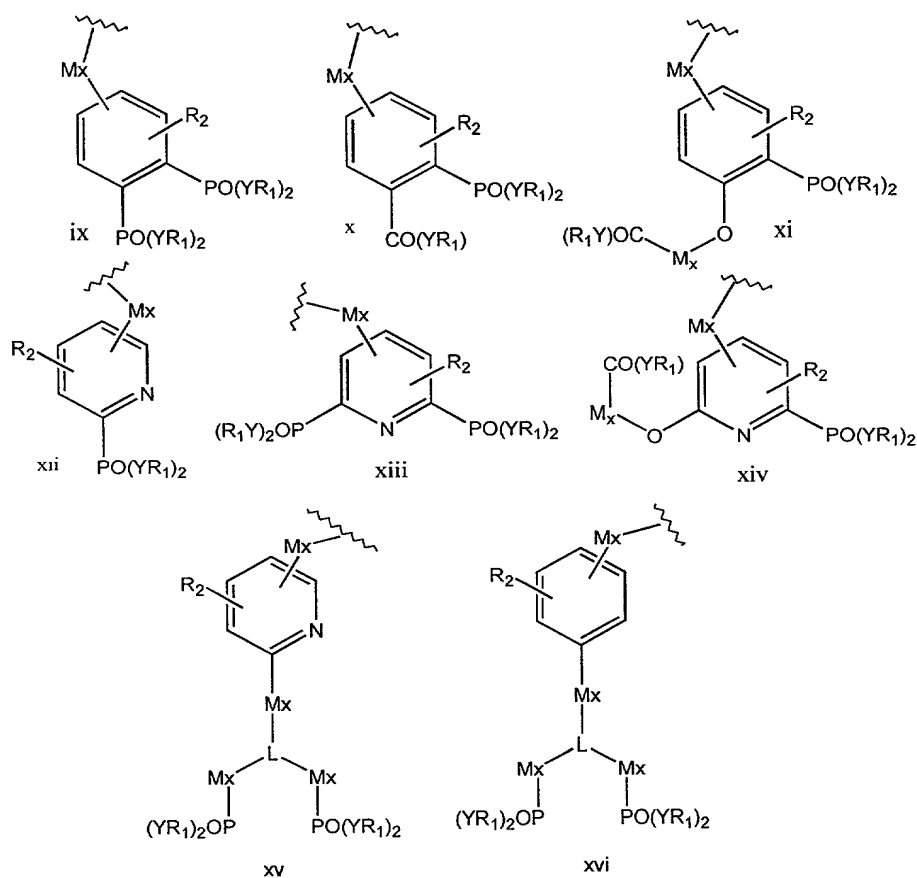
whereby at least one of said aryl, heteroaryl, alkylaryl, or alkylheteroaryl moieties described above is substituted by at least one phosphorus moiety.

89. The compound of claim 88, wherein R_C is a moiety as described above bearing
25 one or more phosphorus moieties of formula i through viii:



5 wherein each occurrence of M is independently CV_2 , -NV-, -O- or -S-,
 wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic;
 each occurrence of Y is independently a covalent bond, -O-, -S- or $N(R_J)_2$, wherein
 R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 1 or 2;
 10 and each occurrence of R_1 is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable
 derivative.

90. The compound of claim 88, wherein R_C is any one of structures ix-xvi:



15

wherein each occurrence of M is independently CV_2 , -NV-, -O- or -S-,
 wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic;
 each occurrence of Y is independently a covalent bond, -O-, -S- or $N(R_J)_2$, wherein
 R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 20 heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 0-6,

5 and in certain embodiments is 1-2; wherein L is CW, wherein W is hydrogen, aliphatic, heteroaliphatic, or hydroxyl; and each occurrence of R₁ is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable derivative; and

wherein each occurrence of R₂ independently represents from 0-3 substituents
10 independently selected from the group consisting of halogen, lower alkyl, lower alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino, amido, amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl, sulfonamido, phosphoryl, phosphorothioate, phosphonate, phosphinate, -(CH₂)_palkyl, -(CH₂)_palkenyl, -(CH₂)_palkynyl, -(CH₂)_paryl, -(CH₂)_paralkyl, -(CH₂)_pOH, -(CH₂)_pO-
15 lower alkyl, -(CH₂)_pO-lower alkenyl, -O(CH₂)_pR, -(CH₂)_pSH, -(CH₂)_pS-lower alkyl, -(CH₂)_pS-lower alkenyl, -S(CH₂)_nR, -(CH₂)_pN(R)₂, -(CH₂)_pNR-lower alkyl, -(CH₂)_pNR-lower alkenyl, -NR(CH₂)_pR, and protected forms of the above, wherein R represents, independently for each occurrence, hydrogen, or substituted or unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein
20 each occurrence of p independently represents an integer from 0-10.

91. The compound of claim 89 or claim 90, wherein at least one occurrence of Y is O.

25 92. The compound of claim 89 or claim 90, wherein each occurrence of Y is O.

93. The compound of claim 89 or claim 90, wherein at least one of Y is a covalent bond.

30 94. The compound of claim 88, wherein R_C is a moiety as described above bearing one or more phosphorus moieties having the structure -P(O)YR_GYR_H, wherein R_G and R_H, for each occurrence, are independently hydrogen, or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl, and each occurrence of Y is independently a covalent bond, -O-, -S- or N(R_I)₂, wherein R_I, for

5 each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

95. The compound of claim 89 or 94, wherein R_C is $-ZR_E$, wherein Z is $-O-$, $-S-$, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, whereby at least one of R_E or R_F represents an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, whereby at least one of said aryl, heteroaryl, alkylaryl, or alkylheteroaryl moieties is substituted by at least one phosphorus moiety.

15

96. The compound of claim 89 or 94, wherein the aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety is further substituted with 0-3 substituents selected from the group consisting of halogen, lower alkyl, lower alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino, amido, amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl, sulfonamido, phosphoryl, phosphorothioate, phosphorothicite, phosphonate, phosphinate, $-(CH_2)_p$ alkyl, $-(CH_2)_p$ alkenyl, $-(CH_2)_p$ alkynyl, $-(CH_2)_p$ aryl, $-(CH_2)_p$ aralkyl, $-(CH_2)_p$ OH, $-(CH_2)_p$ O-lower alkyl, $-(CH_2)_p$ O-lower alkenyl, $-O(CH_2)_nR$, $-(CH_2)_p$ SH, $-(CH_2)_p$ S-lower alkyl, $-(CH_2)_p$ S-lower alkenyl, $-S(CH_2)_nR$, $-(CH_2)_pN(R)_2$, $-(CH_2)_p$ NR-lower alkyl, $-(CH_2)_p$ NR-lower alkenyl, $-NR(CH_2)_nR$, and protected forms of the above, wherein R represents, independently for each occurrence, hydrogen, or substituted or unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein each occurrence of p independently represents an integer from 0-10.

97. The compound of claim 89, wherein R_C is substituted with at least one phosphorus moiety of formula i or iv.

98. The compound of claim 89 or 94, wherein R_1 is hydrogen.

99. The compound of claim 89 or 94, wherein R_D is hydrogen.

5

100. The compound of claim 89 or 94, wherein R_B is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl optionally substituted with one or more halogen groups.

101. The compound of claim 100, wherein the halogen is chlorine.

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102. The compound of claim 100, wherein R_B is phenyl.

103. The compound of claim 89 or 94, wherein R_A is an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety optionally substituted with one or more hydroxyl moieties.

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104. The compound of claim 89 or 94, wherein R_A is a substituted or unsubstituted branched or unbranched, cyclic or acyclic aliphatic or heteroaliphatic moiety optionally substituted by one of more hydroxyl moieties.

20

105. The compound of claim 89 or 94, wherein R_A is a branched or unbranched, cyclic or acyclic aliphatic or heteroaliphatic moiety.

106. The compound of claim 105, wherein R_A is $\text{CH}(\text{CH}_3)_2$, Me, cyclopentyl or cyclohexyl.

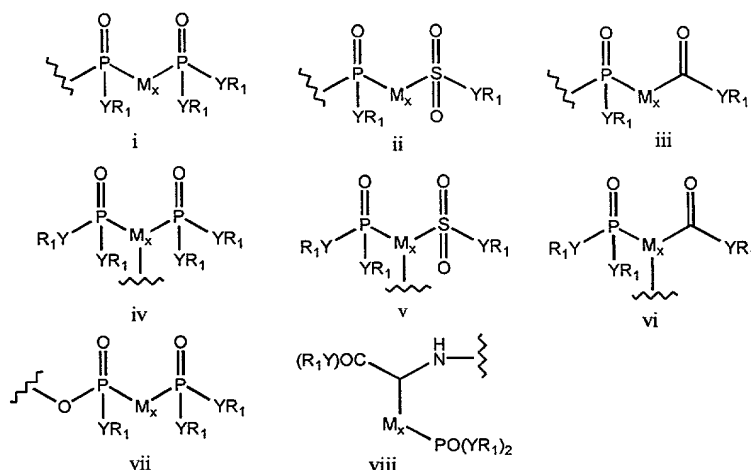
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107. The compound of claim 1 or 2, wherein R_C is cyclic or acyclic aliphatic or heteroaliphatic, or $-\text{ZR}_E$, wherein Z is $-\text{O}-$, $-\text{S}-$, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, whereby at least one of R_E or R_F represents a cyclic or acyclic aliphatic or heteroaliphatic moiety.

30

108. The compound of claim 1, wherein R_C is defined as above, and wherein one or more of said cyclic or acyclic aliphatic or heteroaliphatic moieties described above is substituted with one or more phosphorus moieties having the structure:

35



5

wherein each occurrence of M is independently CV_2 , -NV-, -O- or -S-,
 wherein each occurrence of V is independently hydrogen, OH, halogen, or aliphatic;
 each occurrence of Y is independently a covalent bond, -O-, -S- or $N(R_J)_2$, wherein
 10 R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, or alkylheteroaryl; each occurrence of x is independently 1 or 2;
 and each occurrence of R_1 is independently hydrogen, aliphatic, heteroaliphatic, aryl,
 heteroaryl, alkylaryl, alkylheteroaryl, a prodrug or pharmaceutically acceptable
 derivative.

15

109. The compound of claim 108, wherein at least one occurrence of Y is O.

110. The compound of claim 108, wherein each occurrence of Y is O.

20

111. The compound of claim 2, wherein at least one of Y is a covalent bond.

112. The compound of claim 1, wherein R_C is defined as above, and wherein one or
 more of said cyclic or acyclic aliphatic or heteroaliphatic moieties described above is
 substituted with one or more phosphorus moieties having the structure: -

25

$P(O)YR_GYR_H$, wherein R_G and R_H , for each occurrence, are independently hydrogen,
 or substituted or unsubstituted aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or
 alkylheteroaryl, and each occurrence of Y is independently a covalent bond, -O-, -S-

5 or $N(R_J)_2$, wherein R_J , for each occurrence, is independently hydrogen, aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl.

113. The compound of claim 108 or 112, wherein R_3 is $-ZR_E$, wherein Z is $-O-$, $-S-$, or NR_F , wherein R_E is hydrogen, or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and R_F is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, whereby at least one of R_E or R_F represents an aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, whereby at least one of said aryl, heteroaryl, alkylaryl, or alkylheteroaryl moieties is substituted by at least one phosphorus moiety.

15 114. The compound of claim 108 or 112, wherein the one or more cyclic or acyclic aliphatic or heteroaliphatic moieties are further substituted with 0-3 substituents selected from the group consisting of halogen, lower alkyl, lower alkenyl, aryl, heteroaryl, carbonyl, thiocarbonyl, ketone, aldehyde, amino, acylamino, amido, amidino, cyano, nitro, azido, sulfonyl, sulfoxido, sulfate, sulfonate, sulfamoyl, sulfonamido, phosphoryl, phosphorothioate, phosphorothicite, phosphonate, phosphinate, $-(CH_2)_p$ alkyl, $-(CH_2)_p$ alkenyl, $-(CH_2)_p$ alkynyl, $-(CH_2)_p$ aryl, $-(CH_2)_p$ aralkyl, $-(CH_2)_pOH$, $-(CH_2)_pO$ -lower alkyl, $-(CH_2)_pO$ -lower alkenyl, $-O(CH_2)_nR$, $-(CH_2)_pSH$, $-(CH_2)_pS$ -lower alkyl, $-(CH_2)_pS$ -lower alkenyl, $-S(CH_2)_nR$, $-(CH_2)_pN(R)_2$, $-(CH_2)_pNR$ -lower alkyl, $-(CH_2)_pNR$ -lower alkenyl, $-NR(CH_2)_nR$, and protected forms of the above, wherein R represents, independently for each occurrence, hydrogen, or substituted or unsubstituted aryl, heterocycle, heteroaryl, alkylaryl, alkenyl, or alkyl, and wherein each occurrence of p independently represents an integer from 0-10.

30 115. The compound of claim 108, wherein R_C is substituted with at least one phosphorus moiety of formula i or iv.

116. The compound of claim 108 or 112, wherein R_1 is hydrogen.

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